

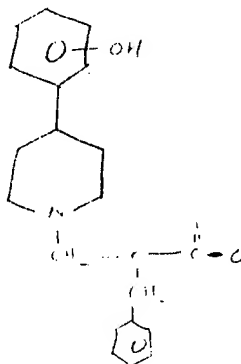
SEARCH REQUEST FORM

4-373

Requestor's Name: CHANG Serial Number: 10/112000
Date: 4/2/94 Phone: 470 2 Art Unit: 120

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



11/2

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Date completed: 1/22/94
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Terminal time: _____
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Total time: _____
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Number of Databases: _____

Search Site

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Type of Search

_____ N.A. Sequence
_____ A.A. Sequence
_____ Structure
_____ Bibliographic

Vendors

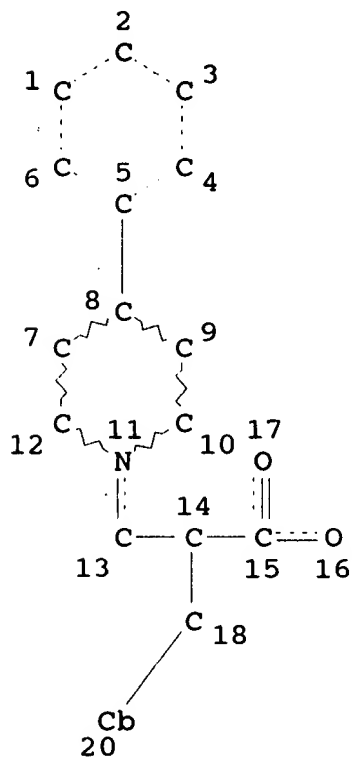
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_____ Other

=> fil reg;d stat que l5;d ide can l5 1-10;fil hca;s l5 or l5/d
FILE 'REGISTRY' ENTERED AT 14:18:54 ON 22 APR 94
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STRUCTURE FILE UPDATES: 15 APR 94 HIGHEST RN 154427-83-5
DICTIONARY FILE UPDATES: 21 APR 94 HIGHEST RN 154427-83-5

TSCA INFORMATION NOW CURRENT THROUGH 30 JUNE 1993

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 19

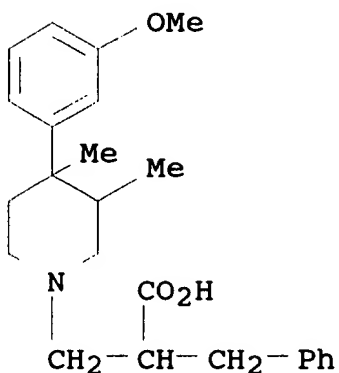
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10 ANSWERS

L5 ANSWER 1 OF 10 COPYRIGHT 1994 ACS
RN 149541-68-4 REGISTRY

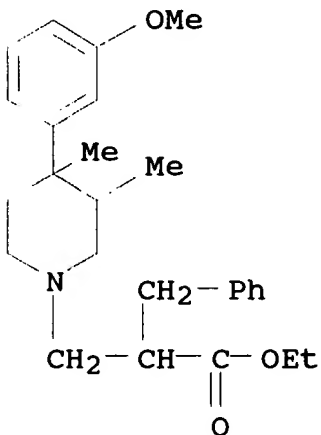
CN 1-Piperidinepropanoic acid, 4-(3-methoxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C24 H31 N O3
 CI COM
 SR CA
 LC STN Files: CA



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

L5 ANSWER 2 OF 10 COPYRIGHT 1994 ACS
 RN 145591-57-7 REGISTRY
 CN 1-Piperidinepropanoic acid, 4-(3-methoxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C26 H35 N O3
 CI COM
 SR CA
 LC STN Files: CA

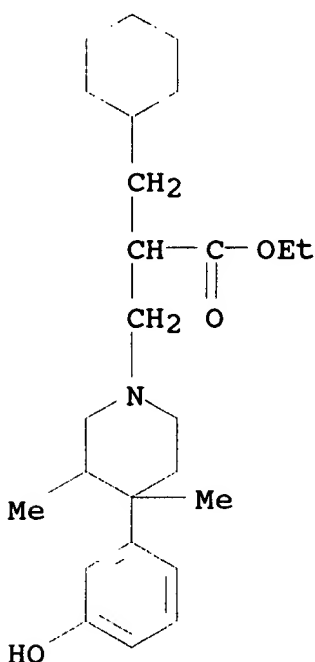


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

L5 ANSWER 3 OF 10 COPYRIGHT 1994 ACS
RN 145591-04-4 REGISTRY
CN 1-Piperidinepropanoic acid, .alpha.-(cyclohexylmethyl)-4-(3-hydroxyphenyl)-3,4-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H39 N O3
CI COM
SR CA
LC STN Files: CA

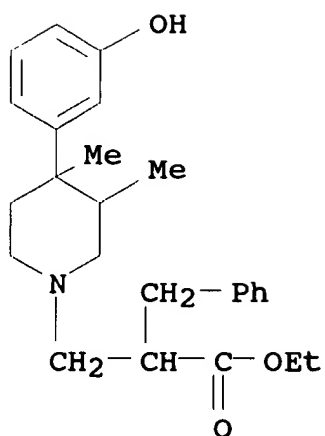


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

L5 ANSWER 4 OF 10 COPYRIGHT 1994 ACS
RN 145591-03-3 REGISTRY
CN 1-Piperidinepropanoic acid, 4-(3-hydroxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H33 N O3
CI COM
SR CA
LC STN Files: CA



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA118(13):124401f

L5 ANSWER 5 OF 10 COPYRIGHT 1994 ACS

RN 145590-78-9 REGISTRY

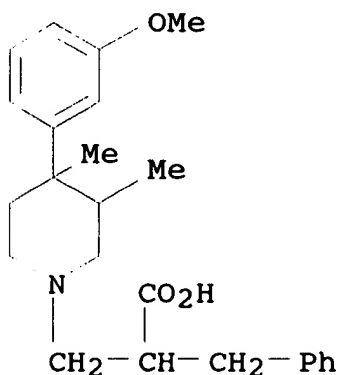
CN 1-Piperidinepropanoic acid, 4-(3-methoxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

MF C24 H31 N O3 . Cl H

SR CA

LC STN Files: CA

CRN (149541-68-4)



● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)

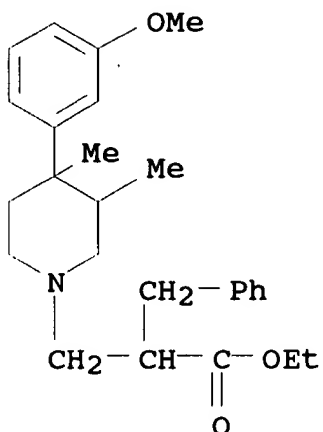
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REFERENCE 2: P CA118(13):124401f

L5 ANSWER 6 OF 10 COPYRIGHT 1994 ACS

RN 145590-77-8 REGISTRY

CN 1-Piperidinepropanoic acid, 4-(3-methoxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)
MF C26 H35 N O3 . Cl H
SR CA
LC STN Files: CA
CRN (145591-57-7)



● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

L5 ANSWER 7 OF 10 COPYRIGHT 1994 ACS

RN 145590-04-1 REGISTRY

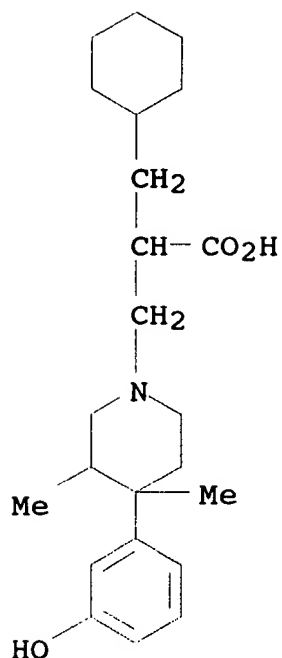
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FS 3D CONCORD

MF C23 H35 N O3

SR CA

LC STN Files: CA



2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

L5 ANSWER 8 OF 10 COPYRIGHT 1994 ACS

RN 145590-03-0 REGISTRY

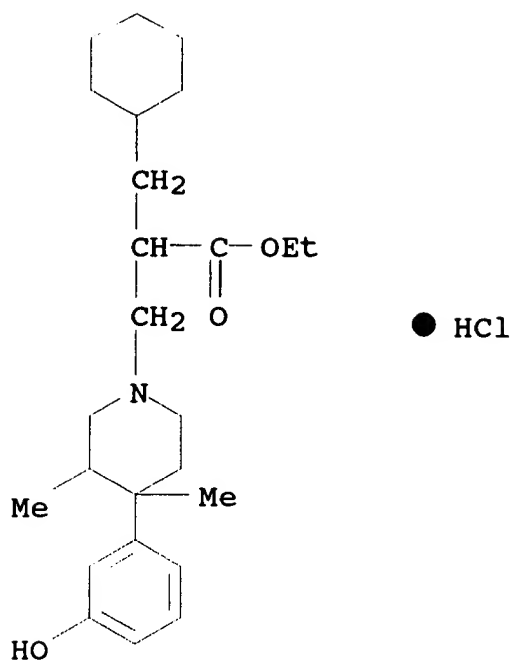
CN 1-Piperidinepropanoic acid, .alpha.-(cyclohexylmethyl)-4-(3-hydroxyphenyl)-3,4-dimethyl-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

MF C25 H39 N O3 . Cl H

SR CA

LC STN Files: CA

CRN (145591-04-4)



2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

L5 ANSWER 9 OF 10 COPYRIGHT 1994 ACS

RN 145590-02-9 REGISTRY

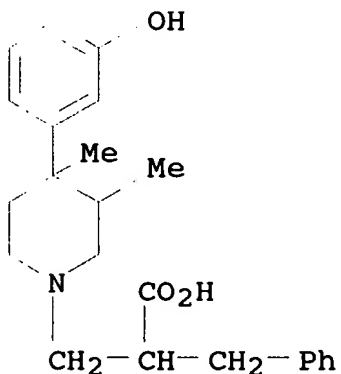
CN 1-Piperidinepropanoic acid, 4-(3-hydroxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C23 H29 N O3

SR CA

LC STN Files: CA



2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

L5 ANSWER 10 OF 10 COPYRIGHT 1994 ACS

RN 145590-01-8 REGISTRY

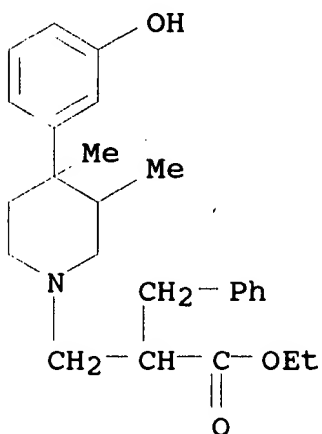
CN 1-Piperidinepropanoic acid, 4-(3-hydroxyphenyl)-3,4-dimethyl-.alpha.-(phenylmethyl)-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

MF C25 H33 N O3 . Cl H

SR CA

LC STN Files: CA

CRN (145591-03-3)



● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA119(13):139107j

REFERENCE 2: P CA118(13):124401f

FILE 'HCA' ENTERED AT 14:19:15 ON 22 APR 94
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FILE COVERS 1967 - 16 Apr 1994 (940416/ED) VOL 120 ISS 16

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0 L5/D

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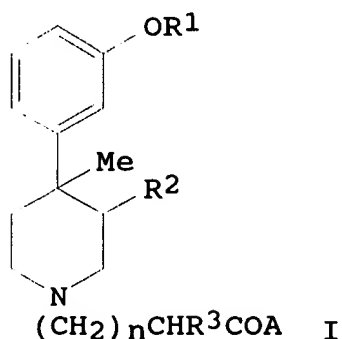
=> d .caabs 16 1-2

L6 ANSWER 1 OF 2 CA COPYRIGHT 1994 ACS

AN CA119(13):139107j CA

TI Preparation of phenylpiperidine derivatives as peripheral opioid antagonists.

SO Can. Pat. Appl., 157 pp.
 IN Cantrell, Buddy E.; Zimmerman, Dennis M.
 PI CA 2064373 AA 920930
 AI CA 92-2064373 920327
 PY 1992
 AN CA119(13):139107j CA
 GI



AB Title compds. I (R₁ = H, C1-5 alkyl; R₂ = H, C1-5 alkyl, C2-6 alkenyl; R₃ = H, C1-10 alkyl, C3-10 alkenyl, Ph, phenyl-C1-3-alkyl, cycloalkyl, C5-8 cycloalkenyl, etc.; A = R₄O, R₆R₅N wherein R₄ = H, C1-10 alkyl, C2-10 alkenyl, cycloalkyl, C5-8 cycloalkenyl, etc.; R₅ = H, C1-3 alkyl; R₆ = H, C1-10 alkyl, C3-10 alkenyl, cycloalkyl, Ph, C5-8 cycloalkenyl, oxadiazolylalkyl, (methyloxodioxolyl)methyl, etc.; n = 0-4), showing good peripheral .mu. opioid receptor antagonism, are prepd. These compds. are useful for the prevention of peripheral side effects assocd. with the use of opioid analgesics, including constipation, nausea, and vomiting. Trans-(+)-3,4-dimethyl-4-(3-hydroxyphenyl)piperidine (prepn. given) and H₂C:C(EtO₂C)CH₂Ph in MeOH were stirred at room temp. to give trans-I (R₁ = H, R₂ = Me, R₃ = PhCH₂, A = OEt, n = 1), isolated as the HCl salt. Pharmaceutical formulations comprising I are given.

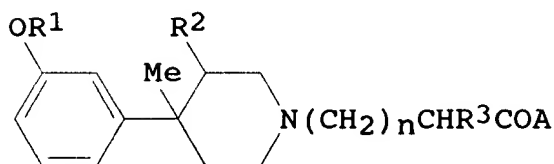
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149541-72-0P			

(prepn. of, as peripheral opioid antagonist)

L6 ANSWER 2 OF 2 CA COPYRIGHT 1994 ACS
 AN CA118(13):124401f CA
 TI Preparation of .omega.-(4-phenylpiperidino)alkanoates as peripheral
 opioid receptor antagonist
 SO Eur. Pat. Appl., 79 pp.
 IN Cantrell, Buddy Eugene; Zimmerman, Denis Michael
 PI EP 506478 A1 920930
 AI EP 92-302751 920327
 PY 1992
 AN CA118(13):124401f CA
 GI



AB Title compds. I (R1 = H, C1-5 alkyl; R2 = H, C1-3 alkyl, C2-6 alkenyl; R3 = H, C1-10 alkyl, C1-10 alkenyl, Ph, cycloalkyl, etc.; A = R4O, R6R5N wherein R4 = H, C1-10 alkyl, C2-10 alkenyl, cycloalkyl, etc., R5 = H, C1-3 alkyl, R6 = H, C1-10 alkyl, C3-10 alkenyl, cycloalkyl, Ph, HO2C(CH2)3NH, etc., R5R6 are each CH2 which together with N form 4-6-membered heterocyclyl, etc., n = 0-4) or a part thereof, useful as peripheral opioid antagonists, are prepd. I.HCl (R1 = H, R2 = Me, R3 = cyclohexyl, n = 2, A = HO) (prepn. given), H2N(CH2)3CO2Et.HCl and Et3N in DMF were combined with DCC to give I [R1 = H, R2 = Me, R3 = cyclohexyl, n = 2, A = EtO2C(CH2)3NH].HCl which was converted to the free acid (II). II showed the greatest

antagonism of the peripheral opoid receptors. Pharmaceutical formulations comprising I are given.

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(prepn. of, as opoid receptor antagonist)